## CLAIMS

1. An adenosine  $A_{2A}$  receptor antagonist comprising, as the active ingredient, a thiazole derivative represented by a general formula (I):

$$R^1$$
 $N$ 
 $R^3$ 
 $R^2$ 
 $CH_2)_n$ 
 $R^4$ 

(1)

{wherein;

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n represents an integer of from 0 to 3;

R<sup>1</sup> represents substituted or unsubstituted cycloalkyl,

10 substituted or unsubstituted aryl,

- a substituted or unsubstituted alicyclic heterocyclic group, or
- a substituted or unsubstituted aromatic heterocyclic group;
- 15 R<sup>2</sup> represents a halogen,

substituted or unsubstituted lower alkyl,

substituted or unsubstituted lower alkenyl,

substituted or unsubstituted lower alkynyl,

substituted or unsubstituted cycloalkyl,

20 substituted or unsubstituted aryl,

substituted or unsubstituted aralkyl,

- a substituted or unsubstituted alicyclic heterocyclic group,
- a substituted or unsubstituted aromatic heterocyclic group,

substituted or unsubstituted alicyclic heterocyclic-

substituted or unsubstituted aromatic heterocyclicalkyl, -NR<sup>5</sup>R<sup>6</sup> (wherein 5  $R^5$  and  $R^6$  may be the same or different, and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, 10 substituted or unsubstituted lower alkanoyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, 15 substituted or unsubstituted alicyclic heterocyclic group, substituted or unsubstituted aromatic heterocyclic group, substituted . or unsubstituted alicyclic 20 heterocyclic-alkyl, or substituted or unsubstituted aromatic heterocyclicalkyl), -OR<sup>7</sup> (wherein R<sup>7</sup> represents a hydrogen atom, 25 substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkanoyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, 30 substituted unsubstituted or alicyclic

alkyl,

heterocyclic group, substituted or unsubstituted aromatic heterocyclic group, substituted or unsubstituted heterocyclic-alkyl, or substituted or unsubstituted aromatic heterocyclicalkyl), or -COR<sup>8</sup> [wherein R<sup>8</sup> represents a hydrogen atom, substituted or unsubstituted lower alkyl, 10 substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, 15 substituted or unsubstituted alicyclic heterocyclic group, substituted or unsubstituted aromatic heterocyclic group, unsubstituted 20 substituted or alicyclic heterocyclic-alkyl, substituted or unsubstituted aromatic heterocyclicalkyl, -NR<sup>9</sup>R<sup>10</sup> (wherein R9 and R10 may be the same or different, and each 25 represent a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, 30 substituted or unsubstituted lower alkynyl,

substituted or unsubstituted lower alkanoyl, substituted or unsubstituted lower alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, 5 substituted or unsubstituted alicyclic heterocyclic group, a substituted or unsubstituted aromatic heterocyclic group, .10 substituted orunsubstituted alicyclic heterocyclic-alkyl, or substituted aromatic unsubstituted or heterocyclic-alkyl), or -OR<sup>11</sup> (wherein R<sup>11</sup> represents a hydrogen atom, 15 substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, 20 substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, substituted or unsubstituted alicyclic heterocyclic group, substituted or unsubstituted aromatic 25 heterocyclic group, substituted unsubstituted oralicyclic heterocyclic-alkyl, or substituted `or unsubstituted aromatic heterocyclic-alkyl)]; and 30  $R^3$ R4 may be the same or different, and and each

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a hydrogen atom,
       substituted or unsubstituted lower alkyl,
       substituted or unsubstituted lower alkenyl,
       substituted or unsubstituted lower alkynyl,
5
       substituted or unsubstituted aralkyl,
       substituted or unsubstituted alicyclic heterocyclic-
        alkyl,
       substituted or unsubstituted aromatic heterocyclic-
10
        alkyl,
       -COR<sup>12</sup> [wherein
         R<sup>12</sup> represents a hydrogen atom,
           substituted or unsubstituted lower alkyl,
           substituted or unsubstituted lower alkenyl,
15
           substituted or unsubstituted lower alkynyl,
           substituted or unsubstituted cycloalkyl,
           substituted or unsubstituted aryl,
           substituted or unsubstituted aralkyl,
                 substituted
                                 or
                                       unsubstituted
                                                          alicyclic
20
            heterocyclic group,
                 substituted
                                        unsubstituted
                               or
            heterocyclic group,
           substituted
                             or
                                     unsubstituted .
            heterocyclic-alkyl,
           substituted or unsubstituted aromatic heterocyclic-
25
            alkyl,
           -NR<sup>13</sup>R<sup>14</sup> (wherein
             R<sup>13</sup> and R<sup>14</sup> may be the same or different, and each
              represents
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represents

a hydrogen atom,

substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted lower alkanoyl, substituted or unsubstituted lower alkoxy, 5 substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, substituted or unsubstituted alicyclic 10 heterocyclic group, unsubstituted substituted or aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted 15 aromatic heterocyclic-alkyl), or -OR<sup>15</sup> (wherein R<sup>15</sup> represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, 20 substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, 25 a substituted unsubstituted or alicyclic heterocyclic group, substituted or unsubstituted aromatic heterocyclic group, substituted unsubstituted alicyclic or 30 heterocyclic-alkyl, or

substituted or unsubstituted aromatic
heterocyclic-alkyl)];

provided that,

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when  $R^1$  is substituted or unsubstituted phenyl and n is 0, then  $R^2$  is not substituted or unsubstituted 6-oxo-1,6dihydropyridazin-3-yl},

or a pharmaceutically acceptable salt thereof.

- 2. The adenosine  $A_{2A}$  receptor antagonist according to claim 1, wherein  $R^1$  is substituted or unsubstituted aryl, or a substituted or unsubstituted aromatic heterocyclic group.
- 3. The adenosine  $A_{2\lambda}$  receptor antagonist according to claim 1 or 2, wherein n is 0.
- The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 3, wherein R<sup>2</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted 20 aryl, a substituted or unsubstituted alicyclic heterocyclic group, a substituted or unsubstituted aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, substituted or unsubstituted aromatic heterocyclic-alkyl, or -COR8 (wherein R8 has the 25 same meaning as defined above).
  - 5. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 3, wherein  $R^2$  is substituted or unsubstituted aryl.

6. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 3, wherein  $R^2$  is a substituted or unsubstituted alicyclic heterocyclic group, or a substituted or unsubstituted aromatic heterocyclic group.

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- 7. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 3, wherein  $R^2$  is -COR<sup>8</sup> (wherein  $R^8$  has the same meaning as defined above).
- The adenosine  $A_{2A}$  receptor antagonist according 10 to any one of claims 1 to 4 and 7, wherein R8 is a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted 15 cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, a substituted or unsubstituted alicyclic heterocyclic group, a substituted unsubstituted aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted aromatic heterocyclic-alkyl. 20
  - 9. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 4 and 7, wherein  $R^8$  is substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted alicyclic heterocyclic group, or a substituted or unsubstituted aromatic heterocyclic group.
- 10. The adenosine  $A_{2A}$  receptor antagonist according 30 to any one of claims 1 to 4 and 7, wherein  $R^8$  is

substituted or unsubstituted aryl, a substituted or unsubstituted alicyclic heterocyclic group, or a substituted or unsubstituted aromatic heterocyclic group.

- 5 11. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 10, wherein  $R^3$  is a hydrogen atom.
- 12. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 10, wherein  $R^3$  is lower alkyl or aralkyl.
- 13. The adenosine  $A_{2A}$  receptor antagonist according to claim 11 or 12, wherein  $R^4$  is  $-COR^{12}$  (wherein  $R^{12}$  has 15 the same meaning as defined above).
  - 14. The adenosine  $A_{2A}$  receptor antagonist according to claim 11 or 12, wherein  $R^4$  is  $-COR^{12a}$  (wherein  $R^{12a}$  is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted aralkyl, a substituted or unsubstituted alicyclic heterocyclic group, a substituted or unsubstituted aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted aromatic heterocyclic-alkyl).

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15. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 10, wherein  $R^3$  and  $R^4$  may be the 30 same or different, and each represents -COR<sup>12</sup> (wherein  $R^{12}$ 

has the same meaning as defined above).

- 16. The adenosine  $A_{2A}$  receptor antagonist according to claim 1, wherein n is 0;  $R^1$  is a substituted or unsubstituted 5-membered aromatic heterocyclic group containing at least one oxygen atom; and  $R^2$  is  $-COR^{8a}$  (wherein  $R^{8a}$  represents a substituted or unsubstituted alicyclic heterocyclic group).
- 17. The adenosine  $A_{2A}$  receptor antagonist according to claim 16, wherein  $R^1$  is substituted or unsubstituted furyl.
- 18. The adenosine  $A_{2A}$  receptor antagonist according to claim 16 or 17, wherein  $R^{8a}$  is a substituted or unsubstituted alicyclic heterocyclic group containing at least one oxygen atom.
- 19. The adenosine A<sub>2A</sub> receptor antagonist according to any one of claims 1 to 10 and 16 to 18, wherein R<sup>3</sup> is a hydrogen atom; and R<sup>4</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted aromatic heterocyclic-alkyl.
- 25 20. The adenosine  $A_{2A}$  receptor antagonist according to any one of claims 1 to 10 and 16 to 18, wherein  $R^3$  is a hydrogen atom,; and  $R^4$  is lower alkyl, aralkyl, or aromatic heterocyclic-alkyl.
- 30 21. The adenosine  $A_{2A}$  receptor antagonist according

to any one of claims 1 to 10 and 16 to 18, wherein R<sup>3</sup> and R<sup>4</sup> may be the same or different, and each represents substituted or unsubstituted lower alkyl, substituted or unsubstituted or unsubstituted aralkyl, or substituted or unsubstituted aromatic heterocyclic-alkyl.

- 22. An agent for treating and/or preventing diseases associated with adenosine  $A_{2A}$  receptor comprising, as the active ingredient, a thiazole derivative according to any one of claims 1 to 21, or a pharmaceutically acceptable salt thereof.
- 23. The agent for treating and/or preventing according to claim 22, wherein the disease associated with adenosine  $A_{2A}$  receptor is Parkinson's disease.
  - 24. A thiazole derivative represented by a formula (IA):

$$R^{2A}-(CH_2)_n \xrightarrow{R} R^{3A}$$

$$(IA)$$

20 [wherein

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R<sup>1A</sup> represents a substituted or unsubstituted 5-membered aromatic heterocyclic group containing at least one oxygen atom (excluding a group selected from 5-phosphonofuran-2-yl and 5-nitrofuran-2-yl);

25  $R^{12}$  and n have the same meanings as defined above, respectively;

- R<sup>3A</sup> represents a hydrogen atom; substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl,
- substituted or unsubstituted aralkyl, substituted or unsubstituted alicyclic heterocyclicalkyl,
  - substituted or unsubstituted aromatic heterocyclicalkyl, or
- -COR<sup>12A</sup> (wherein  $R^{12A}$  have the same meaning as that of  $R^{12}$ ); and
  - R<sup>2A</sup> represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl,
- substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl,
  - a substituted or unsubstituted alicyclic heterocyclic group,
- 20 a substituted or unsubstituted aromatic heterocyclic group (excluding 2-furyl),
  - substituted or unsubstituted alicyclic heterocyclic-alkyl,
- substituted or unsubstituted aromatic heterocyclic-25 alkyl,
  - $-NR^5R^6$  (wherein  $R^5$  and  $R^6$  have the same meanings as defined above, respectively),
  - $-\mathrm{OR}^7$  (wherein  $\mathrm{R}^7$  has the same meaning as defined above), or
- 30 -COR<sup>8</sup> (wherein R<sup>8</sup> has the same meaning as defined

above)],

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or a pharmaceutically acceptable salt thereof.

- 25. The thiazole derivative according to claim 24, wherein  $R^{1A}$  is substituted or unsubstituted furyl, or a pharmaceutically acceptable salt thereof.
- 26. The thiazole derivative according to claim 24 or 25, wherein n is 0, or a pharmaceutically acceptable 10 salt thereof.
  - 27. The thiazole derivative according to any one of claims 24 to 26, wherein R<sup>2A</sup> is substituted or unsubstituted alicyclic aryl, a substituted or unsubstituted alicyclic heterocyclic group, a substituted or unsubstituted aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, substituted or unsubstituted aromatic heterocyclic-alkyl, or -COR<sup>8</sup> (wherein R<sup>8</sup> has the same meaning as defined above), or a pharmaceutically acceptable salt thereof.
    - 28. The thiazole derivative according to any one of claims 24 to 26, wherein  $R^{2A}$  is substituted or unsubstituted aryl, or a pharmaceutically acceptable salt thereof.
- 29. The thiazole derivative according to any one of claims 24 to 26, wherein  $R^{2A}$  is a substituted or 30 unsubstituted alicyclic heterocyclic group, or a

substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof.

- 30. The thiazole derivative according to any one of claims 24 to 26, wherein R<sup>2A</sup> is -COR<sup>8</sup> (wherein R<sup>8</sup> has the same meaning as defined above), or a pharmaceutically acceptable salt thereof.
- The thiazole derivative according to claim 30,  $R^8$ 10 a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, 15 substituted or unsubstituted alicyclic heterocyclic substituted ∙a or unsubstituted heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted aromatic heterocyclic-alkyl, or pharmaceutically a 20 acceptable salt thereof.
  - 32. The thiazole derivative according to claim 30, wherein R<sup>8</sup> is substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted aryl, a substituted or unsubstituted alicyclic heterocyclic group, or a substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof.

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33. The thiazole derivative according to claim 30, wherein  $\mathbb{R}^8$  is substituted or unsubstituted aryl, a

substituted or unsubstituted alicyclic heterocyclic group, or a substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof.

- 5 34. The thiazole derivative according to any one of claims 24 to 33, wherein  $R^{3A}$  is a hydrogen atom, or a pharmaceutically acceptable salt thereof.
- 35. The thiazole derivative according to any one of claims 24 to 33, wherein  $R^{3A}$  is lower alkyl or aralkyl, or a pharmaceutically acceptable salt thereof.
  - 36. The thiazole derivative according to any one of claims 24 to 33, wherein  $R^{3A}$  is  $-COR^{12A}$  (wherein  $R^{12A}$  has the same meaning as defined above), or a pharmaceutically acceptable salt thereof.

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- 37. The thiazole derivative according to claim 36, wherein R<sup>12A</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted or unsubstituted or unsubstituted are unsubstituted are unsubstituted are unsubstituted are unsubstituted or unsubstituted or unsubstituted are unsubstituted alicyclic heterocyclic group, a substituted or unsubstituted aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted aromatic heterocyclic-alkyl, or a pharmaceutically acceptable salt thereof.
- 38. The thiazole derivative according to any one of 30 claims 24 to 37, wherein  $R^{12}$  is substituted or

unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, a substituted or unsubstituted alicyclic heterocyclic group, a substituted or unsubstituted aromatic heterocyclic group, substituted or unsubstituted alicyclic heterocyclic-alkyl, or substituted or unsubstituted alicyclic heterocyclic-alkyl, or a pharmaceutically acceptable salt thereof.

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39. A thiazole derivatives represented by a formula
(IB):

$$R^{8B}$$
 $(CH_2)_n$ 
 $R^{4B}$ 
 $(IB)$ 

(wherein

15 n and  $R^{1A}$  have the same meanings as defined above, respectively;

 $R^{3B}$  represents a hydrogen atom,

substituted or unsubstituted lower alkyl,

substituted or unsubstituted lower alkenyl,

substituted or unsubstituted lower alkynyl,

substituted or unsubstituted aralkyl,

substituted or unsubstituted alicyclic heterocyclicalkyl, or

substituted or unsubstituted aromatic heterocyclicalkyl;

R4B represents substituted or unsubstituted lower alkyl,

substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, unsubstituted alicyclic heterocyclicsubstituted or 5 alkyl, or substituted or unsubstituted aromatic heterocyclicalkyl; and

R<sup>8B</sup> represents a hydrogen atom,

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substituted or unsubstituted lower alkyl,

10 substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl,

a substituted or unsubstituted alicyclic heterocyclic 15 group,

> a substituted or unsubstituted aromatic heterocyclic group,

substituted or unsubstituted alicyclic heterocyclicalkyl, or

substituted or unsubstituted aromatic heterocyclicalkyl),

or a pharmaceutically acceptable salt thereof.

- 25 40. The thiazole derivative according to claim 39, wherein R<sup>1A</sup> is substituted or unsubstituted furyl, or a pharmaceutically acceptable salt thereof.
- 41. The thiazole derivative according to claim 39 or 40, wherein n is 0, or a pharmaceutically acceptable 30

salt thereof.

- 42. The thiazole derivative according to any one of claims 39 to 41, wherein  $R^{8B}$  is a substituted or unsubstituted alicyclic heterocyclic group containing at least one oxygen atom, or a pharmaceutically acceptable salt thereof.
- 43. The thiazole derivative according to any one of 10 claims 39 to 42, wherein  $R^{3B}$  is a hydrogen atom, or a pharmaceutically acceptable salt thereof.
- 44. The thiazole derivative according to claim 43, wherein R<sup>4B</sup> is lower alkyl, aralkyl or aromatic heterocyclic-aralkyl, or a pharmaceutically acceptable salt thereof.
- 45. A pharmaceutical composition comprising, as the active ingredient, a thiazole derivative according to any one of claims 24 to 44, or a pharmaceutically acceptable salt thereof.
  - 46. An adenosine  $A_{2A}$  receptor antagonist comprising, as the active ingredient, a thiazole derivative according to any one of claims 24 to 44, or a pharmaceutically acceptable salt thereof.
- 47. An agent for treating and/or preventing diseases associated with adenosine  $A_{2A}$  receptor comprising, 30 as the active ingredient, a thiazole derivative according

to any one of claims 24 to 44, or a pharmaceutically acceptable salt thereof.

- 48. An agent for treating and/or preventing central nervous system diseases comprising, as the active ingredient, a thiazole derivative according to any one of claims 24 to 44, or a pharmaceutically acceptable salt thereof.
- 10 49. An agent for treating and/or preventing Parkinson's disease comprising, as the active ingredient, a thiazole derivative according to any one of claims 24 to 44, or a pharmaceutically acceptable salt thereof.
- 15 50. A method for treating and/or preventing diseases associated with adenosine  $A_{2A}$  receptor, which comprises administering an effective amount of a thiazole derivative represented by a general formula (I):

$$R^{1} \longrightarrow N \longrightarrow R^{3}$$

$$R^{2} \longrightarrow (CH_{2})_{n}$$

$$R^{4}$$

$$(1)$$

(wherein n,  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the same meanings as defined above, respectively), or a pharmaceutically acceptable salt thereof.